# PRODUCT INFORMATION



# Alosetron (hydrochloride)

Item No. 22434

CAS Registry No.: 122852-69-1

Formal Name: 2,3,4,5-tetrahydro-5-methyl-2-[(4-

methyl-1H-imidazol-5-yl)methyl]-1H-pyrido[4,3-b]indol-1-one,

monohydrochloride

Synonym: GR 68755

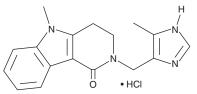
MF: C<sub>17</sub>H<sub>18</sub>N<sub>4</sub>O • HCl

FW: 330.8 **Purity:** ≥98%

 $\lambda_{max}$ : 218, 259, 294 nm UV/Vis.: A crystalline solid Supplied as:

-20°C Storage: ≥4 years Stability:

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



## **Laboratory Procedures**

Alosetron (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the alosetron (hydrochloride) in the solvent of choice. Alosetron (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of alosetron (hydrochloride) in these solvents is approximately 0.5, 20, and 10 mg/ml, respectively.

Alosetron (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, alosetron (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Alosetron (hydrochloride) has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Alosetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT3 (Kis = 0.3 and 0.4 nM for the rat cortical and human recombinant receptors, respectively). It is selective for 5-HT<sub>3</sub> over 5-HT<sub>2</sub> and 5-HT<sub>1 $\Delta$ </sub> receptors (K<sub>i</sub>s = 6.3 and >100  $\mu$ M, respectively), as well as  $\alpha_1$ -,  $\beta_1$ -, and  $\beta_2$ - adrenergic, M<sub>1</sub>, M<sub>2</sub>, and  $M_3$  muscarinic, GABA, dopamine  $D_2$ , and nicotinic receptors at  $10 \mu M.^2$  Alosetron (0.3-10 nM) inhibits 5-HT-induced depolarization of isolated rat vagus nerve. 1 It reduces wrap restraint stress-induced defecation and the number of abdominal muscle contractions in a rat model of irritable bowel syndrome with diarrhea (IBS-D) when administered at a dose of 0.1 mg/kg.<sup>3</sup> Formulations containing alosetron have been used in the treatment of diarrhea-predominant irritable bowel syndrome in women.

#### References

- 1. Humphrey, P.P., Bountra, C., Clayton, N., et al. Ailment Pharmacol. Ther. 13(Suppl 2), 31-38 (1999).
- 2. Kilpatrick, G.J., Hagan, R.M., Butler, A., et al. Glaxo Grp. Res. Ltd., 259P (1991).
- 3. Taquichi, R., Shilkata, K., Furuya, Y., et al. Psychoneuroendocrinology 75, 110-115 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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